

L3 STR

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=> s 13 ful  
 FULL SEARCH INITIATED 12:31:40 FILE 'REGISTRY'  
 FULL SCREEN SEARCH COMPLETED - 503 TO ITERATE

100.0% PROCESSED 503 ITERATIONS 425 ANSWERS  
 SEARCH TIME: 00.00.01

L4 425 SEA SSS FUL L3

=> fil caplus  
 COST IN U.S. DOLLARS SINCE FILE TOTAL  
 FULL ESTIMATED COST ENTRY SESSION  
 334.32 334.74

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=> s 14  
 L5 3 L4

=> d 1-3 fbib abs fhitstr

L5 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:1075795 CAPLUS  
 DN 143:347334  
 TI Preparation of quinuclidine indazole, benzothiazole, benzisothiazole and benzisoxazoles as ligands for the  $\alpha$ 7 nicotinic acetylcholine receptor  
 IN Xie, Wenge; Herbert, Brian; Schumacher, Richard; Nguyen, Truc Minh; Ma, Jianguo; Gauss, Carla Maria; Tehim, Ashok  
 PA Memory Pharmaceuticals Corporation, USA

SO PCT Int. Appl., 300 pp.  
CODEN: PIXXD2

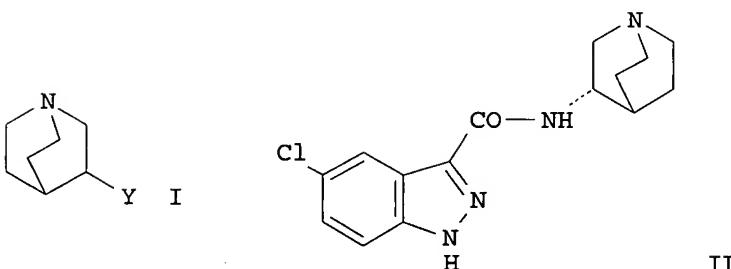
CDEN: 1111

LA English

EAN CNT 1

PATENT NO.		KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005092890	A2	20051006	WO 2005-US10120	20050325
	WO 2005092890	A3	20060202		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		US 2004-555951P US 2004-616033P	P 20040325 P 20041006
US	2005234095	A1	20051020	US 2005-89533 US 2004-555951P US 2004-616033P	20050325 P 20040325 P 20041006

OS MARPAT 143:347334  
GT



**AB** Quinuclidine derivs. of formula I [ $Y = NR_1C(X)A$ ,  $C(X)NR_1A$ ,  $NR_1CH_2A$ ,  $CH_2NR_1A$ ;  $A =$  (substituted) indazole, benzothiazole, benzoisothiazole or benzisoxazole;  $X = O, S$ ;  $R_1 = H, alkyl, haloalkyl, cycloalkyl, cycloalkyl-alkyl$ ] are prepared as ligands for nicotinic acetylcholine receptors ( $nACh$  receptors), especially the  $\alpha_7$   $nACh$  receptor subtype. The compds. can be used for the treatment of disease conditions associated with defective or malfunctioning nicotinic acetylcholine receptors, especially of

brain. Thus, II was prepared. The binding affinities of the prepared compds. were between 2 nM and 25  $\mu$ M.

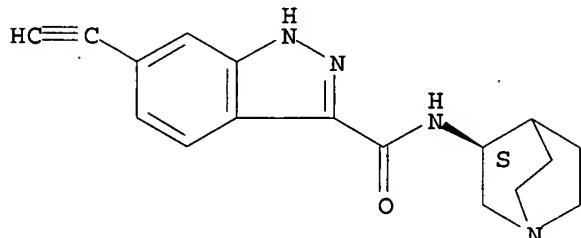
IT 865884-27-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of quinuclidine indazole, benzothiazole, benzoisothiazole and benzisoxazole derivs. as ligands for  $\alpha 7$  nACh receptor subunit)

RN 865884-27-1 CAPLUS

CN 1H-Indazole-3-carboxamide, N-(3S)-1-azabicyclo[2.2.2]oct-3-yl-6-ethynyl-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



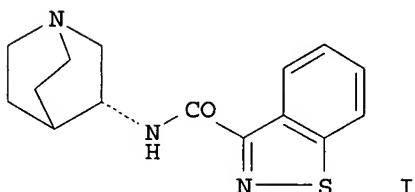
L5 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2004:287845 CAPLUS  
 DN 140:321562  
 TI Preparation of quinuclidinyl indazoles, benzothiazoles and  
 benzoisothiazoles for use in pharmaceutical compositions as nicotinic  
 acetylcholine receptor ligands  
 IN Tehim, Ashok; Herbert, Brian; Nguyen, Truc Minh; Xie, Wenge; Gauss, Carla  
 Maria  
 PA Memory Pharmaceuticals Corporation, USA  
 SO PCT Int. Appl., 147 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004029050	A1	20040408	WO 2003-US29976	20030925
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			US 2002-413151P	P 20020925
				US 2003-448469P	P 20030221
CA	2499128	AA	20040408	CA 2003-2499128	20030925
				US 2002-413151P	P 20020925
				US 2003-448469P	P 20030221
				WO 2003-US29976	W 20030925
AU	2003276919	A1	20040419	AU 2003-276919	20030925
				US 2002-413151P	P 20020925
				US 2003-448469P	P 20030221
				WO 2003-US29976	W 20030925
US	2004132790	A1	20040708	US 2003-669645	20030925
				US 2002-413151P	P 20020925
				US 2003-448469P	P 20030221
EE	200500011	A	20050615	EE 2005-11	20030925
				US 2002-413151P	P 20020925

			US 2003-448469P	P 20030221
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BR 2003014485	A	20050726	BR 2003-14485	20030925
			US 2002-413151P	P 20020925
			US 2003-448469P	P 20030221
			WO 2003-US29976	W 20030925
CN 1684962	A	20051019	CN 2003-823009	20030925
			US 2002-413151P	P 20020925
			US 2003-448469P	P 20030221
JP 2006503851	T2	20060202	JP 2004-540191	20030925
			US 2002-413151P	P 20020925
			US 2003-448469P	P 20030221
			WO 2003-US29976	W 20030925
ZA 2005002465	A	20051121	ZA 2005-2465	20050324
			US 2002-413151P	P 20020925
BG 109117	A	20051230	BG 2005-109117	20050411
			US 2002-413151P	P 20020925
			US 2003-448469P	P 20030221
NO 2005001985	A	20050609	NO 2005-1985	20050422
			US 2002-413151P	P 20020925
			US 2003-448469P	P 20030221
			WO 2003-US29976	W 20030925

OS MARPAT 140:321562

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**AB** Quinuclidine derivs., such as RNHC(:X)W, RC(:X)NHW, RNHCH2W and RCH2NHW [R = quinuclidinyl; W = indazolyl, benzothiazolyl, benzoisothiazolyl; X = O, S], were prepared for therapeutic use as nicotinic acetylcholine receptor  $\alpha_7$  ( $\alpha_7$  nAChR) ligands for the treatment of psychotic or neurodegenerative diseases and disorders involving dysfunction of the cholinergic system. These quinuclidines are claimed for use in the treatment of dementia or memory impairment due to mild cognitive impairment due to Alzheimer's disease, schizophrenia, Parkinson's disease, Huntington's disease, Pick's disease, Creutzfeldt-Jakob disease, depression, aging, head trauma, stroke, CNS hypoxia, cerebral senility, or multiinfarct dementia. These quinuclidines are also claimed for use in the treatment of intoxication, damage associated with strokes, ischemia and glutamate-induced excitotoxicity, smoking cessation or nicotine addiction, pain, jet lag, obesity, diabetes, mild cognitive impairment (MCI), vascular dementia (VaD), age-associated cognitive decline (AACD), amnesia

associated with open-heart-surgery, cardiac arrest, general anesthesia, memory deficits from exposure to anesthetic agents, sleep deprivation induced cognitive impairment, chronic fatigue syndrome, narcolepsy, AIDS-related dementia, epilepsy-related cognitive impairment, Down's syndrome, alcoholism related dementia, drug/substance induced memory impairments, dementia puglistica (boxer syndrome), or loss of cholinergic synapses. Thus, N-quinuclidinyl-amide I was prepared via an amidation reaction of 1,2-benzisothiazole-3-carboxylic acid with 3-(R)-aminoquinuclidine dihydrochloride in a 5/1 mixture of THF/DMF using diisopropylethylamine and HATU.  $\alpha_7$  NACHR activity of the prepared quinuclidines were determined using rat brain tissue in a competition assay with [3H]-MLA.

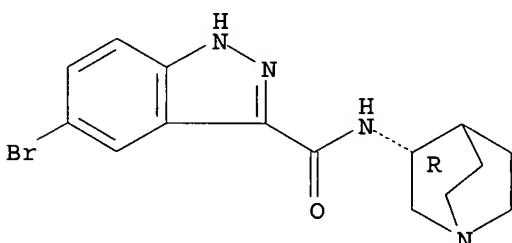
IT 677305-07-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of N-quinuclidinyl indazoles, benzothiazoles and benzoisothiazoles for use in pharmaceutical compns. as nicotinic acetylcholine receptor ligands)

RN 677305-07-6 CAPLUS

CN 1H-Indazole-3-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-5-bromo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2001:597958 CAPLUS  
DN 135:166827  
TI Preparation of 1H-indole-3-carboxamides, 1H-indazole-3-carboxamides, 1H-pyrido[4,3-b]indol-1-ones and pyrrolo[1,2,3-de]-1,4-benzoxazine-6-carboxamides as cannabinoid receptor modulators for treating respiratory and non-respiratory diseases  
IN Leftheris, Katerina; Zhao, Rulin; Chen, Bang-Chi; Kiener, Peter; Wu, Hong; Pandit, Chennagiri R.; Wroblewski, Stephen; Chen, Ping; Hynes, John, Jr.; Longphre, Malinda; Norris, Derek J.; Spergel, Steven; Tokarski, John  
PA Bristol-Myers Squibb Company, USA; et al.  
SO PCT Int. Appl., 199 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001058869	A2	20010816	WO 2001-US4131	20010208
	WO 2001058869	A3	20020124		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
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 HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,  
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,  
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,  
 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

			US 2000-181818P	P 20000211
CA 2399791	AA	20010816	CA 2001-2399791	20010208
			US 2000-181818P	P 20000211
			WO 2001-US4131	W 20010208
AU 2001034958	A5	20010820	AU 2001-34958	20010208
			US 2000-181818P	P 20000211
			WO 2001-US4131	W 20010208
EP 1254115	A2	20021106	EP 2001-907144	20010208
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			US 2000-181818P	P 20000211
			WO 2001-US4131	W 20010208
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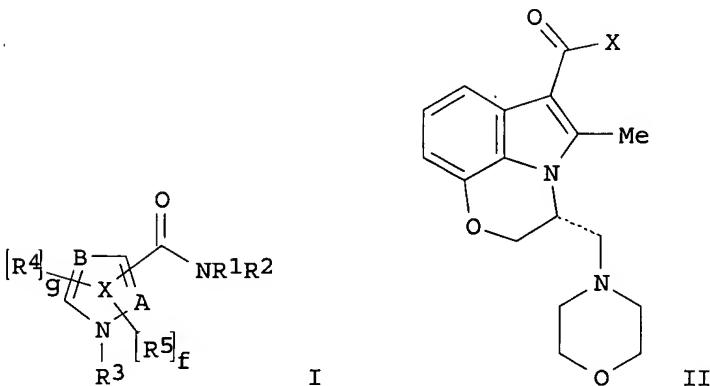
## PATENT FAMILY INFORMATION:

FAN 2003:261065

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003065453	A1	20030403	US 2002-164473	20020605
				US 2001-779109	A2 20010208
				US 2001-296358P	P 20010605
	US 2002119972	A1	20020829	US 2001-297057P	P 20010607
	US 6653304	B2	20031125	US 2001-779109	20010208
				US 2000-181818P	P 20000211

OS MARPAT 135:166827

GI



AB The title compds. [I; A, B = C, N so that ring X = pyrrole, pyrazole or imidazole (wherein when A = N, the group CONR1R2 is attached to atom C-3 and R5 does not exist; and when A = C, one of CONR1R2 and R5 is attached

to A and the other to atom C-3; and when B = C, two R4 groups attached to B and atom C-5, resp., form a fused 6-membered heteroaryl); f = 0-1; g = 1-2; R1, R2 = H, alkyl, heterocycloalkyl, etc.; R2 together with R1 or R5 forms a 5-6 membered heterocyclo; R3 = H, alkyl, aryl, etc.; R4 is attached to atom C-5 and optionally B and is H, alkyl, aryl, etc.; R5 is attached to A or atom C-3 and is H, alkyl, aryl, etc.; R5 together with R2 forms a heterocyclo], useful as cannabinoid receptor modulators (no data given) for treating respiratory and non-respiratory leukocyte-activation associated diseases, were prepared. Thus, reacting the acid chloride II [X = Cl] (multi-step synthesis given) with 2,2,6,6-tetramethylcyclohexylamine afforded the pyrrolo[1,2,3-de]-1,4-benzoxazine-6-carboxamide II [X = 2,2,6,6-tetramethylcyclohexylamino].

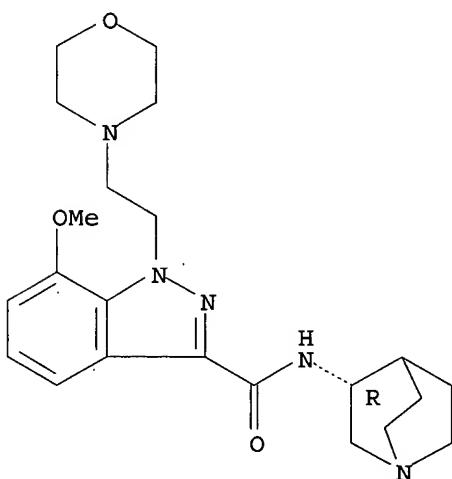
IT 354570-70-0P

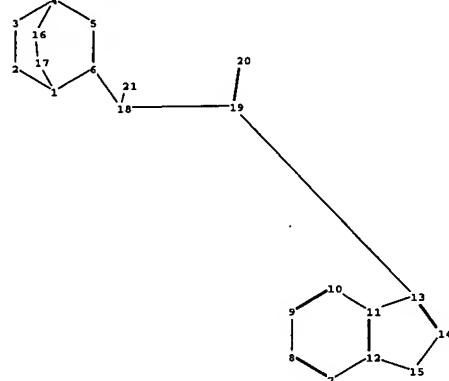
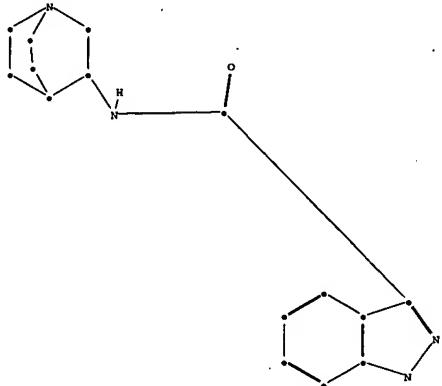
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of 1H-indole-3-carboxamides, 1H-indazole-3-carboxamides, 1H-pyrido[4,3-b]indol-1-ones and pyrrolo[1,2,3-de]-1,4-benzoxazine-6-carboxamides as cannabinoid receptor modulators for treating respiratory and non-respiratory diseases)

RN 354570-70-0 CAPLUS

CN 1H-Indazole-3-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-7-methoxy-1-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





chain nodes :

18 19 20 21

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17

chain bonds :

6-18 13-19 18-19 18-21 19-20

ring bonds :

1-2 1-6 1-17 2-3 3-4 4-5 4-16 5-6 7-8 7-12 8-9 9-10 10-11 11-12 11-13 12-15 13-14 14-15  
16-17

exact/norm bonds :

1-2 1-6 1-17 2-3 3-4 4-5 4-16 5-6 6-18 12-15 13-14 14-15 16-17 18-19 19-20

exact bonds :

11-13 13-19 18-21

normalized bonds :

7-8 7-12 8-9 9-10 10-11 11-12

isolated ring systems :

containing 1 : 7 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom  
13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS19:CLASS20:CLASS21:CLASS